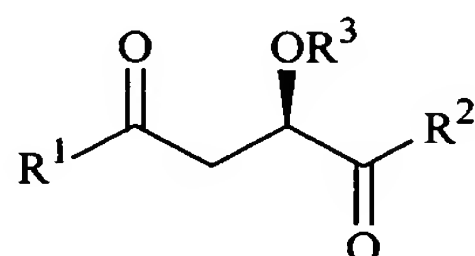


WE CLAIM

1. A method for treating cardiovascular disease in a host comprising administering an effective amount of a compound of the following formula:



or a pharmaceutically acceptable salt, prodrug or active derivative thereof, wherein:

R¹ and R² are selected from the group consisting of OR⁴, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, NH₂, NHR⁵, NR⁷R⁶, mono- or polyhydroxy-substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, substituted acyloxy, or haloalkyl; and,

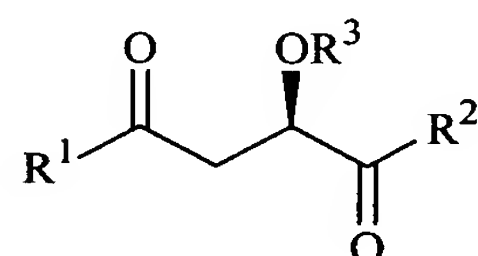
R³ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, mono- or polyhydroxy-substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, substituted acyloxy, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, haloalkyl, or the carboxylic moiety of an ester; and,

R⁴ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, or substituted acyloxy; and,

R⁵, R⁶, and R⁷ are selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl,

substituted alkoxyalkyl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, or substituted acyloxy.

2. The method of claim 1, wherein the compound is in substantially pure form.
3. The method of claim 1, wherein the compound is D-malic acid.
4. The method of claim 1, wherein the compound is D,L-malic acid.
5. A method for decreasing the serum lipoprotein cholesterol level in a host comprising administering an effective amount of a compound of the following formula:



or a pharmaceutically acceptable salt, prodrug or active derivative thereof, wherein:

R¹ and R² are selected from the group consisting of OR⁴, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, NH₂, NHR⁵, NR⁷R⁶, mono- or polyhydroxy-substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, substituted acyloxy, or haloalkyl; and,

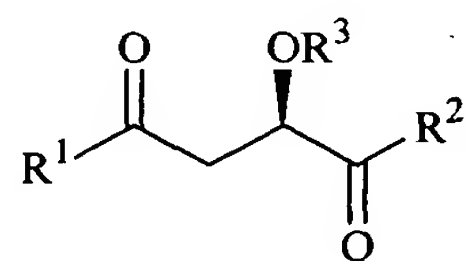
R³ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, mono- or polyhydroxy-substituted alkyl, aryl, substituted aryl,

heteroaryl, substituted heteroaryl, acyloxy, substituted acyloxy, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, haloalkyl, or the carboxylic moiety of an ester; and,

R^4 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, or substituted acyloxy; and,

R^5 , R^6 , and R^7 are selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, or substituted acyloxy.

6. The method of claim 5, wherein the compound is in substantially pure form.
7. The method of claim 5, wherein the compound is D-malic acid.
8. The method of claim 5, wherein the compound is D,L-malic acid.
9. A method for decreasing the low density lipoprotein cholesterol level in a host comprising administering an effective amount of a compound of the following formula:



or a pharmaceutically acceptable salt, prodrug or active derivative thereof, wherein:

R^1 and R^2 are selected from the group consisting of OR^4 , alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, NH_2 , NHR^5 , NR^7R^6 , mono- or polyhydroxy-substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, substituted acyloxy, or haloalkyl; and,

R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, mono- or polyhydroxy-substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, substituted acyloxy, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, haloalkyl, or the carboxylic moiety of an ester; and,

R^4 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, or substituted acyloxy; and,

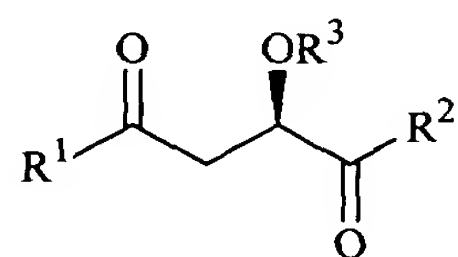
R^5 , R^6 , and R^7 are selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, or substituted acyloxy.

10. The method of claim 9, wherein the compound is in substantially pure form.

11. The method of claim 9, wherein the compound is D-malic acid.

12. The method of claim 9, wherein the compound is D,L-malic acid.

13. A method for decreasing the very low density lipoprotein cholesterol level in a host comprising administering an effective amount of a compound of the following formula:



or a pharmaceutically acceptable salt, prodrug or active derivative thereof, wherein:

R¹ and R² are selected from the group consisting of OR⁴, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, NH₂, NHR⁵, NR⁷R⁶, mono- or polyhydroxy-substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, substituted acyloxy, or haloalkyl; and,

R³ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, mono- or polyhydroxy-substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, substituted acyloxy, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, haloalkyl, or the carboxylic moiety of an ester; and,

R⁴ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, or substituted acyloxy; and,

R⁵, R⁶, and R⁷ are selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl,

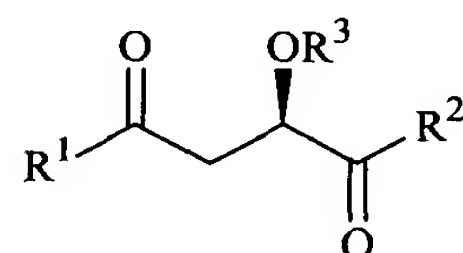
substituted alkoxyalkyl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, or substituted acyloxy.

14. The method of claim 13, wherein the compound is in substantially pure form.

15. The method of claim 13, wherein the compound is D-malic acid.

16. The method of claim 13, wherein the compound is D,L-malic acid.

17. A method for decreasing the serum triglyceride level in a host comprising administering an effective amount of a compound of the following formula:



or a pharmaceutically acceptable salt, prodrug or active derivative thereof, wherein:

R^1 and R^2 are selected from the group consisting of OR^4 , alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, NH_2 , NHR^5 , NR^7R^6 , mono- or polyhydroxy-substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, substituted acyloxy, or haloalkyl; and,

R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, mono- or polyhydroxy-substituted alkyl, aryl, substituted aryl,

heteroaryl, substituted heteroaryl, acyloxy, substituted acyloxy, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, haloalkyl, or the carboxylic moiety of an ester; and,

R^4 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, or substituted acyloxy; and,

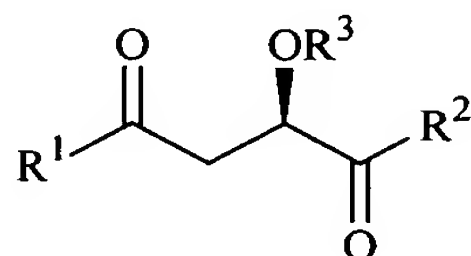
R^5 , R^6 , and R^7 are selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, or substituted acyloxy.

18. The method of claim 17, wherein the compound is in substantially pure form.

19. The method of claim 17, wherein the compound is D-malic acid.

20. The method of claim 18, wherein the compound is D,L-malic acid.

21. A method for decreasing the total serum cholesterol level in a host comprising administering an effective amount of a compound of the following formula:



or a pharmaceutically acceptable salt, prodrug or active derivative thereof, wherein:

R^1 and R^2 are selected from the group consisting of OR^4 , alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, NH_2 , NHR^5 , NR^7R^6 , mono- or polyhydroxy-substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, substituted acyloxy, or haloalkyl; and,

R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, mono- or polyhydroxy-substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, substituted acyloxy, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, haloalkyl, or the carboxylic moiety of an ester; and,

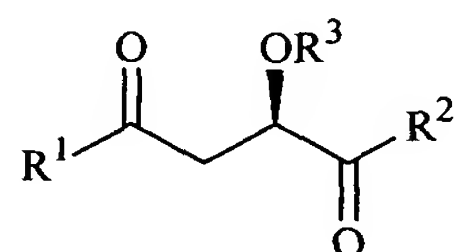
R^4 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, or substituted acyloxy; and,

R^5 , R^6 , and R^7 are selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, or substituted acyloxy.

22. The method of claim 21, wherein the compound is in substantially pure form.

23. The method of claim 21, wherein the compound is D-malic acid.

24. The method of claim 21, wherein the compound is D,L-malic acid.
25. The method of claim 1, further comprising administering a compound in combination or alternation selected from the group consisting of statins, IBAT inhibitors, MTP inhibitors, cholesterol absorption antagonists, phytosterols, CETP inhibitors, fibric acid derivatives and antihypertensive agents.
26. The method of claim 25, further comprising the administration of the compound (-)-(2R,4S)-4-Amino-2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester or its salts.
27. The method of claim 25, wherein the fibric acid derivative is selected from the group consisting of clofibrate, fenofibrate, ciprofibrate, bezafibrate and gemfibrozil.
28. A pharmaceutical composition for decreasing the serum lipoprotein cholesterol level in a host consisting essentially of a compound of the following formula:



or a pharmaceutically acceptable salt, prodrug or active derivative thereof, wherein:

R¹ and R² are selected from the group consisting of OR⁴, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, NH₂, NHR⁵, NR⁷R⁶, mono- or polyhydroxy-substituted alkyl, aryl,

substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, substituted acyloxy, or haloalkyl; and,

R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, mono- or polyhydroxy-substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, substituted acyloxy, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, haloalkyl, or the carboxylic moiety of an ester; and,

R^4 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, or substituted acyloxy; and,

R^5 , R^6 , and R^7 are selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, or substituted acyloxy.

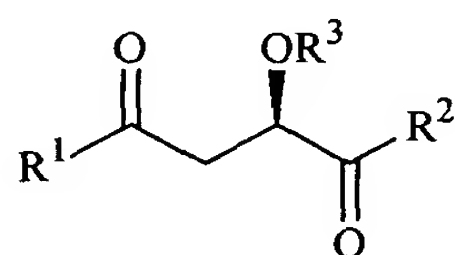
29. The pharmaceutical composition of claim 28, wherein the compound is in substantially pure form.

30. The pharmaceutical composition of claim 28, wherein the compound is D-malic acid.

31. The pharmaceutical composition of claim 28, wherein the compound is D,L-malic acid.

32. The pharmaceutical composition of claim 28, wherein the serum lipoprotein is selected from LDL, VLDL and HDL.

33. A pharmaceutical composition for decreasing the serum total cholesterol level in a host consisting essentially of a compound of the following formula:



or a pharmaceutically acceptable salt, prodrug or active derivative thereof, wherein:

R¹ and R² are selected from the group consisting of OR⁴, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, NH₂, NHR⁵, NR⁷R⁶, mono- or polyhydroxy-substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, substituted acyloxy, or haloalkyl; and,

R³ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, mono- or polyhydroxy-substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, substituted acyloxy, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, haloalkyl, or the carboxylic moiety of an ester; and,

R₄ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, or substituted acyloxy; and,

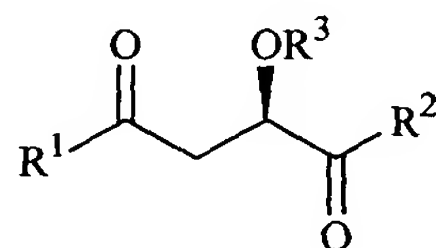
R^5 , R^6 , and R^7 are selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, or substituted acyloxy.

34. The pharmaceutical composition of claim 33, wherein the compound is in substantially pure form.

35. The pharmaceutical composition of claim 33, wherein the compound is D-malic acid.

36. The pharmaceutical composition of claim 33, wherein the compound is D,L-malic acid.

37. A pharmaceutical composition for decreasing the serum triglyceride level in a host consisting essentially of a compound of the following formula:



or a pharmaceutically acceptable salt, prodrug or active derivative thereof, wherein:

R^1 and R^2 are selected from the group consisting of OR⁴, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, NH₂, NHR⁵, NR⁷R⁶, mono- or polyhydroxy-substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, substituted acyloxy, or haloalkyl; and,

R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, mono- or polyhydroxy-substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, substituted acyloxy, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, haloalkyl, or the carboxylic moiety of an ester; and,

R^4 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, or substituted acyloxy; and,

R^5 , R^6 , and R^7 are selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, or substituted acyloxy.

38. The pharmaceutical composition of claim 37, wherein the compound is in substantially pure form.

39. The pharmaceutical composition of claim 37, wherein the compound is D-malic acid.

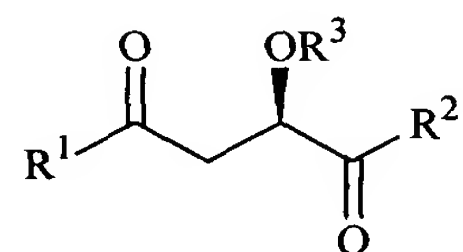
40. The pharmaceutical composition of claim 37, wherein the compound is D,L-malic acid.

41. The pharmaceutical composition of claim 28, further comprising a compound selected from the group consisting of statins, JBAT inhibitors, MTP inhibitors, cholesterol absorption antagonists, phytosterols, CETP inhibitors, fibric acid derivatives and antihypertensive agents.

42. The pharmaceutical composition of claim 41, further comprising the compound (-)-(2R,4S)-4-Amino-2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester or its salts.

43. The composition of claim 41, wherein the fibric acid derivative is selected from the group consisting of clofibrate, fenofibrate, ciprofibrate, bezafibrate and gemfibrozil.

44. A method for treating hyperlipidemia comprising administering to a host an effective amount of a compound of the following formula:



or a pharmaceutically acceptable salt, prodrug or active derivative thereof, wherein:

R^1 and R^2 are selected from the group consisting of OR^4 , alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, NH_2 , NHR^5 , NR^7R^6 , mono- or polyhydroxy-substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, substituted acyloxy, or haloalkyl; and,

R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl,

substituted alkoxyalkyl, mono- or polyhydroxy-substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, substituted acyloxy, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, haloalkyl, or the carboxylic moiety of an ester; and,

R^4 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, or substituted acyloxy; and,

R^5 , R^6 , and R^7 are selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, alkoxy, substituted alkyloxy, alkoxyalkyl, substituted alkoxyalkyl, substituted aryl, heteroaryl, substituted heteroaryl, acyloxy, or substituted acyloxy.

45. The method of claim 44, wherein the compound is in substantially pure form.
46. The pharmaceutical composition of claim 44, wherein the compound is D-malic acid.
47. The pharmaceutical composition of claim 44, wherein the compound is D,L-malic acid.